

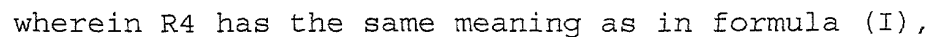
1. Process for preparing a compound of formula (I):



- R1 represents:
  - a phenyl group; or
  - a 3,4-methylenedioxyphenyl group

- R3 represents a hydrogen atom, a lower alkyl group or a lower phenylalkylene group; and

said process comprising a step (B) which consists in performing a Michael addition of a thioacid of formula (IV):

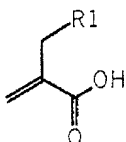
C=C(R1)C(=O)N(R2)C(=O)OR3

(V)

wherein R1, R2 and R3 have the same meaning as in formula (I).

2. Process according to Claim 1, wherein the group R4 represents an acetyl radical  $\text{CH}_3\text{-CO-}$ , a benzoyl radical  $\text{C}_6\text{H}_5\text{-CO-}$  or a pivaloyl radical  $(\text{CH}_3)_3\text{-CO-}$ .

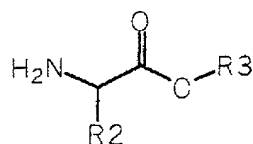
3. Process according to Claim 1 or according to Claim 2, wherein said  $\alpha$ -substituted acrylamide derivative of formula (V) is obtained from a step (A), prior to step (B), comprising a step consisting in performing the coupling of an acrylic acid of formula (VI):



(VI)

wherein R1 has the same meaning as in formula (I),

with an amino ester of formula (VIII):

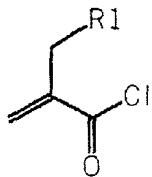


(VIII)

wherein R2 and R3 have the have the same meaning as in formula (I).

4. Process according to Claim 3, wherein said step (A) comprises the successive steps consisting in:

(A1) reacting said  $\alpha$ -substituted acrylic acid of formula (VI) with an chloro acid so as to obtain an acid chloride of formula (VII):



(VII)

wherein R1 has the same meaning as in formula (I);

5 and

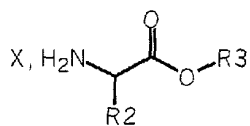
(A2) reacting the acid chloride of formula (VII) thus obtained with said amino ester of formula (VIII), in the presence of a base, so as to achieve the coupling.

5. Process according to Claim 4, wherein the chloro acid used in step (A1) is chosen from  $\text{SOCl}_2$ ,  $\text{ClCO-COCl}$ ,  $\text{PCl}_3$  and  $\text{PCl}_5$ .

6. Process according to Claim 4 or Claim 5, wherein the acid chloride of formula (VII) obtained from step (A1) is subjected to a distillation step before being used in step (A2).

7. Process according to any one of Claims 4 to 6, wherein the base used in step (A2) is an organic amine.

8. Process according to any one of Claims 4 to 7, wherein the amino ester used in step (A2) is introduced in the form of a salt of formula (VIIIa):



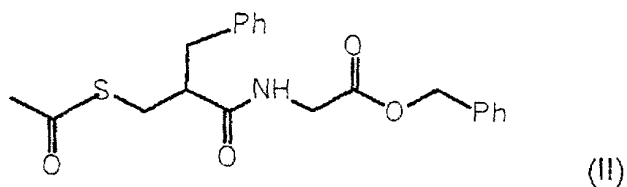
(VIIIa)

wherein R2 and R3 have the have the same meaning  
as in formula (I); and

wherein X is chosen from HCl, CH<sub>3</sub>SO<sub>3</sub>H and  
4-methylphenyl-SO<sub>3</sub>H.

9. Process according to any one of Claims 4 to 8,  
wherein step (A2) is carried out in the presence  
of an organic solvent chosen from toluene,  
dichloromethane, 1,2-dichloroethane, chloroform,  
N,N-dimethylformamide, 1,4-dioxane, N-methyl-  
pyrrolidone, N,N-dimethylacetamide, butyl acetate,  
ethyl acetate, isobutyl acetate, isopropyl  
acetate, methyl acetate, propyl acetate and  
tetrahydrofuran.
10. Process according to any one of Claims 1 to 9,  
wherein compound (V) used in step (B) is a chiral  
compound wherein R2 denotes a lower alkyl group,  
said compound (V) being used at least  
predominantly in its S configuration or at least  
predominantly in its R configuration.
11. Process according to Claim 10, wherein compound  
(V) is used in its optically pure S form.
12. Process according to Claim 11, wherein compound  
(V) is prepared by a condensation reaction of an  
acrylic acid of formula (VI) with an amino ester  
of formula (VIII) derived from a natural amino  
acid.
13. Process according to any one of Claims 10 to 12,  
wherein chirality inducers are used in step (B).
14. Process according to any one of Claims 10 to 12,  
which further comprises, after step (B), a  
subsequent step (C) of separation of the  
diastereoisomers obtained in step (B).

15. Process according to any one of Claims 1 to 9,  
wherein said obtained compound of formula (I) is  
benzyl N-(RS)-[2-acetylthiomethyl-1-oxo-3-  
phenylpropyl]glycinate of formula (II):



16. Process according to any one of Claims 1 to 14,  
wherein said obtained compound of formula (I) is  
benzyl N-(S)-[2-acetylthiomethyl-1-oxo-3-(3,4-  
methylenedioxyphenyl)propyl]-(S)-alaninate of  
formula (III):

